

## PCT

## INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference RLL-542WO	<b>FOR FURTHER ACTION</b> see Form PCT/ISA/220 as well as, where applicable, item 5 below.	
International application No. PCT/IB2004/003362	International filing date (day/month/year) 14/10/2004	(Earliest) Priority Date (day/month/year) 15/10/2003
Applicant  RANBAXY LABORATORIES LIMITED		

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 7 sheets.

☒ It is also accompanied by a copy of each prior art document cited in this report.

**1. Basis of the report**

a. With regard to the **language**, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ The international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

b. ☐ With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, see Box No. I.

2. ☐ **Certain claims were found unsearchable** (See Box II).

3. ☐ **Unity of invention is lacking** (see Box III).

**4. With regard to the title,**

☐ the text is approved as submitted by the applicant.

☒ the text has been established by this Authority to read as follows:

1-ALKYLPIPERAZINYL-PYRROLIDIN-2, 5-DIONE DERIVATIVES AS ADRENERGIC RECEPTOR ANTAGONISTS

**5. With regard to the abstract,**

☐ the text is approved as submitted by the applicant.

☒ the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box No. IV. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

**6. With regard to the drawings,**

a. the figure of the **drawings** to be published with the abstract is Figure No. \_\_\_\_\_

☐ as suggested by the applicant.

☐ as selected by this Authority, because the applicant failed to suggest a figure.

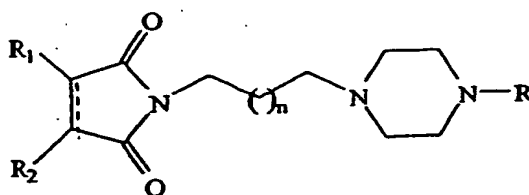
☐ as selected by this Authority, because this figure better characterizes the invention.

b. ☐ none of the figures is to be published with the abstract.

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## Box No. IV Text of the abstract (Continuation of item 5 of the first sheet)

This invention relates to  $\alpha_{1a}$  and/or  $\alpha_{1d}$  adrenergic receptor antagonists of formula I. Compounds disclosed herein can function as  $\alpha_{1a}$  and/or  $\alpha_{1d}$  adrenergic receptor antagonists and can be used for the treatment of diseases or disorders mediated through  $\alpha_{1a}$  and/or  $\alpha_{1d}$  adrenergic receptors. Compounds disclosed herein can be used for the treatment of benign prostatic hyperplasia and related symptoms thereof. Compounds disclosed herein can also be used for the treatment of lower urinary tract symptoms associated with or without benign prostatic hyperplasia. The invention also relates to a process for the preparation of compounds disclosed herein, pharmaceutical compositions containing these compounds and the methods of treating diseases or disorders mediated through  $\alpha_{1a}$  and/or  $\alpha_{1d}$  receptors.



Formula I

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## INTERNATIONAL SEARCH REPORT

national Application No

PCT/IB2004/003362

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 A61K31/496 C07D207/408 C07D207/416 A61P13/08 C07D209/48

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data, BEILSTEIN Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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X	WO 02/44151 A (ANAND NITYA ; CHUGH ANITA (IN); JAIN SANJAY (IN); SINHA NEELIMA (IN);) 6 June 2002 (2002-06-06)	1,6-11, 36-57
A	page 18, line 3 - page 22, line 13; claims; compounds 1,8,11,18,23,24,25	2-4, 12-35

X	PALUCHOWSKA, MARIA H. ET AL: "On the Bioactive Conformation of NAN-190 (1) and MP3022 (2), 5-HT1A Receptor Antagonists" JOURNAL OF MEDICINAL CHEMISTRY, 42(24), 4952-4960 CODEN: JMCMAR; ISSN: 0022-2623, 1999, XP002314916 table 1-compound 8 page 4954 - page 4957	1,6-11, 39-57
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Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

## \* Special categories of cited documents:

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

\*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

\*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

\*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

\* & \* document member of the same patent family

Date of the actual completion of the international search

25 January 2005

Date of mailing of the international search report

14/02/2005

Name and mailing address of the ISA

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International Application No  
PCT/IB2004/003362

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>DATABASE CA 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; "Succinimide derivatives" XP002314917 retrieved from STN Database accession no. 1985:615155 compound with rn: 99012-73-4P abstract &amp; JP 60 087262 A2 (SUMITOMO CHEMICAL CO., LTD., USA) 16 May 1985 (1985-05-16)</p>	1,6-11, 39-57
X	<p>DATABASE CA 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; "Cyclic imide derivatives" XP002314918 retrieved from STN Database accession no. 1984:591971 compound with RN:92636-57-2P abstract &amp; EP 0 109 562 A1 (SUMITOMO CHEMICAL CO., LTD., JAPAN) 30 May 1984 (1984-05-30)</p>	1,6-11, 39-57
Y	<p>WO 01/05765 A (RECORDATI CHEM PHARM ; RECORDATI CHEM PHARM (IT)) 25 January 2001 (2001-01-25) claims; examples 13,32-34</p>	1-57
Y	<p>WO 00/05206 A (SAXENA ANIL KUMAR ; ANAND NITYA (IN); JAIN SANJAY (IN); MEHTA ANITA (I) 3 February 2000 (2000-02-03) compounds 2 and 26-pages 7-8 page 24, line 15 - page 33, line 30</p>	1-57
A	<p>HIEBLE J P ET AL: "RECENT ADVANCES IN THE IDENTIFICATION OF ALPHA1- AND ALPHA2-ADRENOCEPTOR SUBTYPES: THERAPEUTIC IMPLICATIONS" EXPERT OPINION ON INVESTIGATIONAL DRUGS, ASHLEY PUBLICATIONS LTD., LONDON, GB, vol. 6, no. 4, April 1997 (1997-04), pages 367-387, XP000981272 ISSN: 1354-3784 the whole document</p>	1-35
P,X	<p>WO 03/084928 A1 (RANBAXY LABORATORIES LIMITED, INDIA) 16 October 2003 (2003-10-16) the whole document</p>	1-57
P,X	<p>WO 03/084541 A1 (RANBAXY LABORATORIES LIMITED, INDIA) 16 October 2003 (2003-10-16) the whole document</p>	1-57

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# INTERNATIONAL SEARCH REPORT

international application No.  
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## Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:  
  
Although claims 39-48 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

### Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/IB2004/003362

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
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			WO 0105765 A1	25-01-2001
			EP 1200406 A1	02-05-2002
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			ID 28198 A	10-05-2001
			NZ 509388 A	19-12-2003
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			US 6410735 B1	25-06-2002

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/IB2004/003362

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WO 03084928	A1	16-10-2003 CA 2481888 A1 EP 1495000 A1	16-10-2003 12-01-2005
WO 03084541	A1	16-10-2003 EP 1494674 A1	12-01-2005